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(FILE 'HOME' ENTERED AT 11:06:08 ON 18 JUN 2004)

FILE 'REGISTRY' ENTERED AT 11:06:20 ON 18 JUN 2004

L1 STRUCTURE UPLOADED

L2 10 S L1

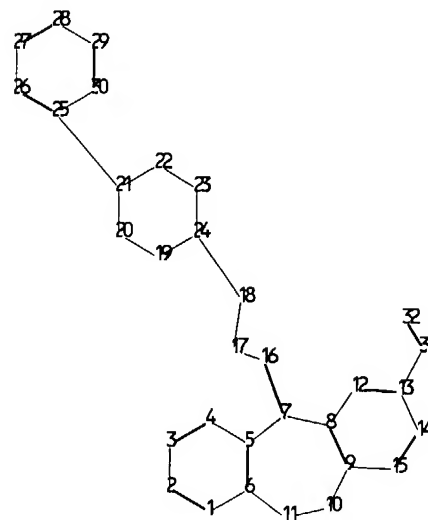
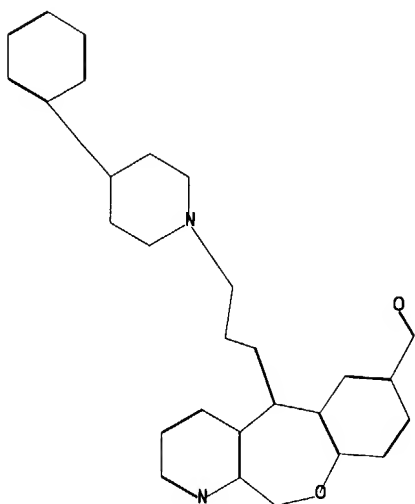
L3 STRUCTURE UPLOADED

L4 2 S L3

L5 46 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:08:49 ON 18 JUN 2004

L6 6 S L5



chain nodes :

16 17 18 31 32

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 19 20 21 22 23 24 25 26 27 28
29 30

chain bonds :

7-16 13-31 16-17 17-18 18-24 21-25 31-32

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-12 8-9 9-10 9-15 10-11 12-13 13-14
14-15 19-20 19-24 20-21 21-22 22-23 23-24 25-26 25-30 26-27 27-28 28-29 29-30

exact/norm bonds :

5-7 6-11 7-8 9-10 10-11 18-24 19-20 19-24 20-21 21-22 22-23 23-24 31-32

exact bonds :

7-16 13-31 16-17 17-18 21-25

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-12 8-9 9-15 12-13 13-14 14-15 25-26 25-30 26-27
27-28 28-29 29-30

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS
32:CLASS

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=> d 1-6 bib abs hitstr

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:430808 CAPLUS
DN 140:406829
TI Preparation of benzoxepino[3,4-b]pyridines as CCRL-antagonists for the
treatment of demyelinating inflammatory diseases.
IN Carson, Kenneth G.; Harriman, Geraldine C. B.
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043965	A1	20040527	WO 2003-US35817	20031112
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2004106639	A1	20040603	US 2003-706835	20031112
PRAI	US 2002-425947P	P	20021113		
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = halo] and their pharmaceutically acceptable salts were prepared. For example, sodium hypochlorite mediated oxidation of Me ketone II (R2 = COMe), prepared from 4-oxopiperidine-1-carboxylic acid tert-Bu ester in 8-steps, afforded benzoxepino[3,4-b]pyridine II (R2 = CO2H) in 96% yield. In inhibition of 125I-MIP-1 α binding to THP-1 cell membrane assays, 3-examples of compds. I exhibited Ki values ranging from 2.23-1000 nM, e.g., the Ki of benzoxepino[3,4-b]pyridine II (R2 = CO2H) was 2.3 nM. Compds. I were claimed useful for the treatment of multiple sclerosis.

IT 690660-14-1P 690660-15-2P 690660-16-3P
690660-17-4P 690660-18-5P 690660-19-6P
690660-20-9P 690660-24-3P

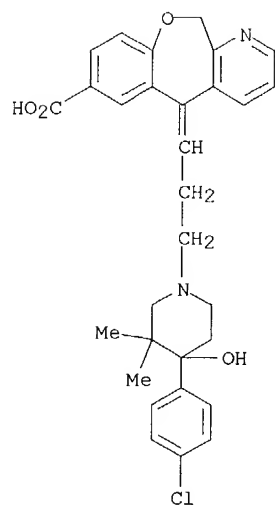
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoxepino[3,4-b]pyridines as CCRL-antagonists for the treatment of demyelinating inflammatory diseases.)

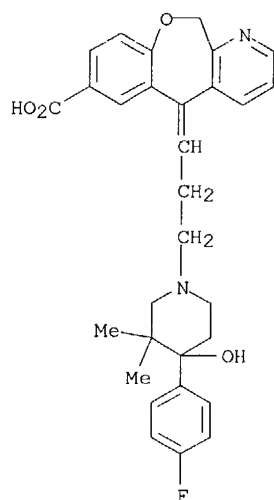
RN 690660-14-1 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidiny]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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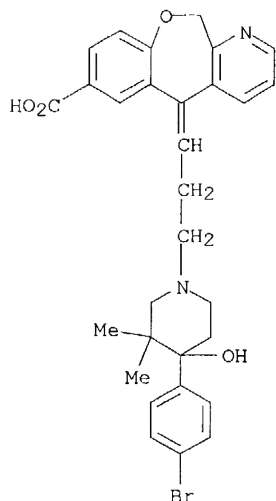


RN 690660-15-2 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 690660-16-3 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-bromophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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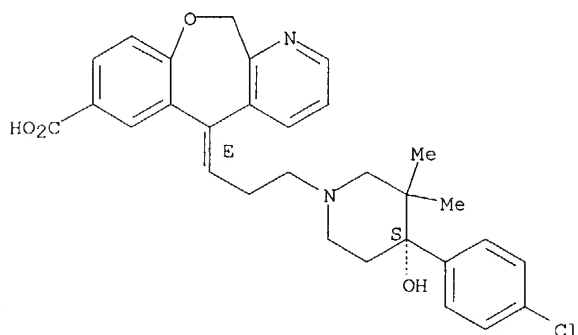


RN 690660-17-4 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidiny]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

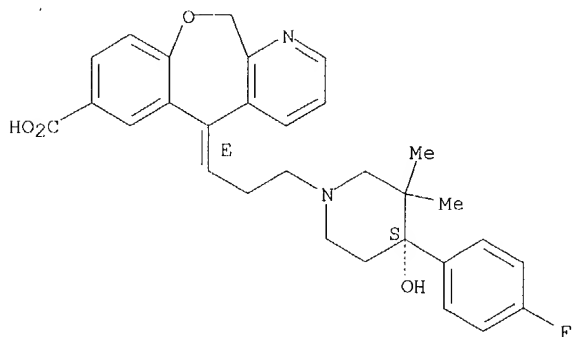


RN 690660-18-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-fluorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidiny]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



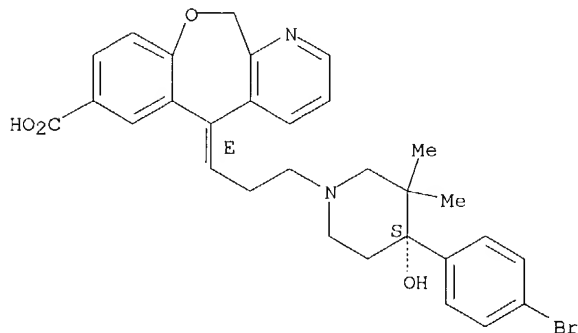
10706835

RN 690660-19-6 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-bromophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

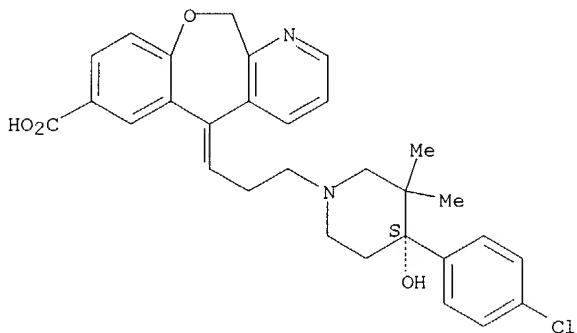


RN 690660-20-9 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

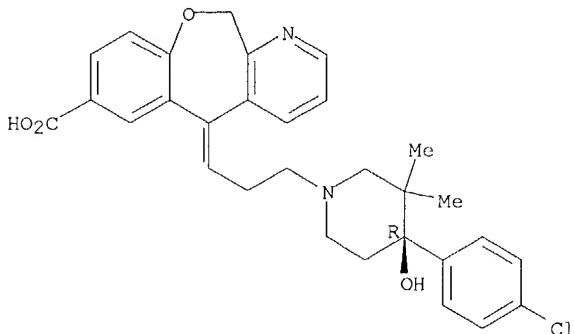


RN 690660-24-3 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4R)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



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L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
RE

- (1) Kyowa Hakko Kogyo Kk; WO 0109138 A 2001 CAPLUS
- (2) Ohshima, E; US 2002169155 A1 2002

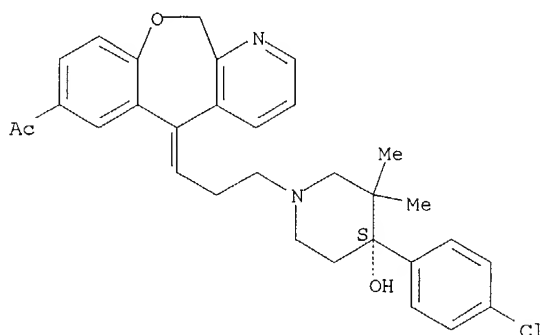
IT 690660-23-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzoxepino[3,4-b]pyridines as CCR1-antagonists for the treatment of demyelinating inflammatory diseases.)

RN 690660-23-2 CAPLUS

CN Ethanone, 1-[5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:869579 CAPLUS

DN 137:370077

TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera, Osamu; Harriman, Geraldine C. B.; Carson, Kenneth G.

PA Millennium Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 138 pp., Cont.-in-part of U. S. Ser. No. 627,886.
 CODEN: USXXCO

DT Patent

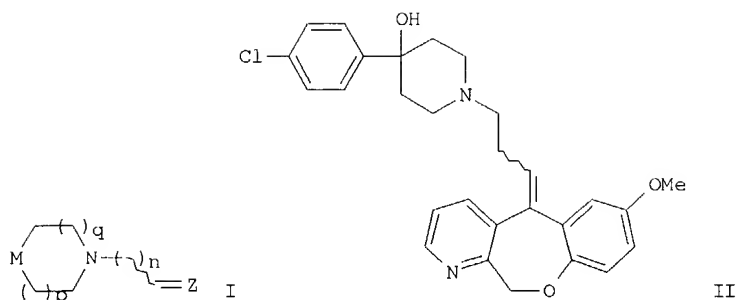
LA English

FAN.CNT 6

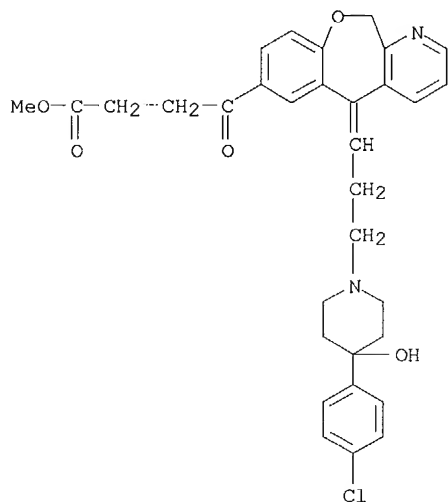
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PI	US 2002169155	A1	20021114	US 2001-989086	20011121
	US 6613905	B1	20030902	US 1998-148823	19980904
→	US 6329385	B1	20011211	US 1999-235102	19990121
	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	WO 2003045942	A2	20030605	WO 2002-US36953	20021113 ←
	WO 2003045942	A3	20030912		
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1998-148823	A2	19980904		
	US 1999-235102	A2	19990121		
	US 1999-362837	A2	19990728		
	US 2000-627886	A2	20000728		
	US 1998-10320	B2	19980121		
	US 2001-989086	A2	20011121		

OS MARPAT 137:370077

GI



- AB Therapeutically effective compds. I [Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR₂, CR₁R₂, OCR₁R₂O, CH₂CR₁R₂O; R₁ = H, OH, N₃, etc.; R₂ = H, acyl, aryl, etc.; q₁ = 0-3; q₂ = 0-1; ring containing M is substituted or unsubstituted; and physiol. acceptable salts thereof] were prepared for treatment of diseases associated with aberrant leukocyte recruitment and/or activation (no data). I displayed chemokine binding activities with IC₅₀ values ranging from < 1 μM to < 1000 μM. Thus, the [[1]benzoxepino[2,3-b]pyridinylidene)propyl]piperidinol II was prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated
- IT **324785-37-7P**, [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo-, methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
- RN 324785-37-7 CAPLUS
- CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



- IT **233260-14-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-
324782-15-2P, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-

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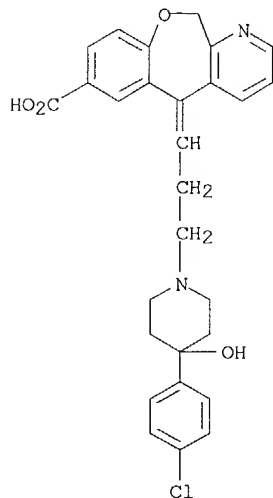
, methyl ester **324782-79-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324782-81-2P**, Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

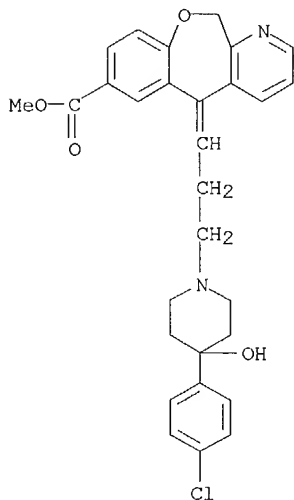
RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-15-2 CAPLUS

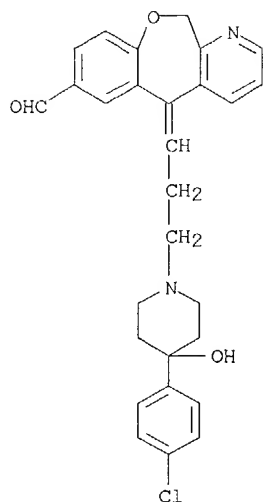
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)



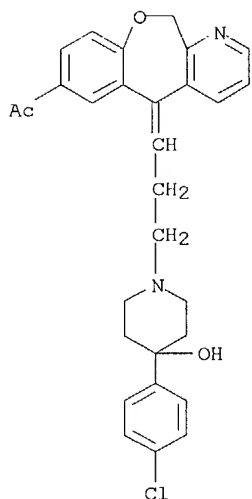
RN 324782-79-8 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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RN 324782-81-2 CAPLUS
CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



IT **233261-19-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- **324782-09-4P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- **324782-11-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324782-13-0P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- **324782-61-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- **324782-63-0P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(cyclohexyloxy)carbonyl]oxy]ethyl ester **324782-65-2P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester **324783-35-9P**, 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- **324783-37-1P**, 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-

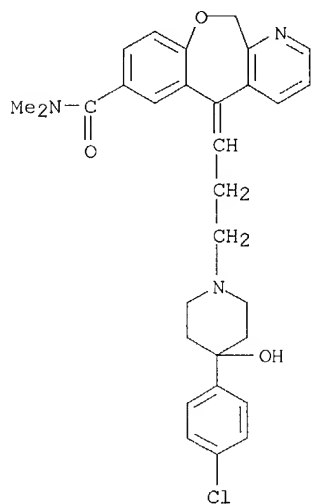
piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- **324783-39-3P**, Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- **324783-41-7P**, [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo- **324783-98-4P**, [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- α -oxo- **324784-40-9P**, [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- β -oxo-, ethyl ester **324784-42-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324784-62-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester **324784-64-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester **324784-66-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester **324784-68-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester **324784-70-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester **324784-72-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester **324784-74-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester **324784-76-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester **324784-78-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester **324784-80-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester **324784-82-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

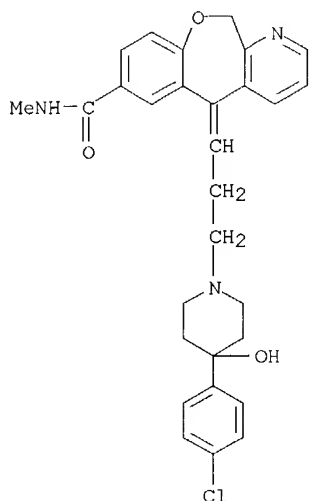
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS

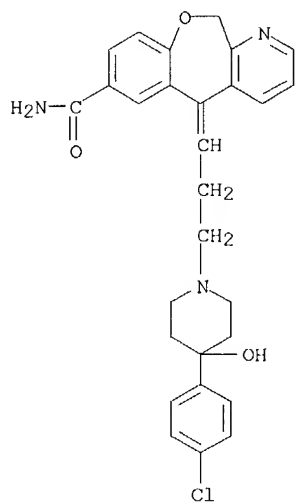
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN	324782-09-4	CAPLUS
CN	[1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)	

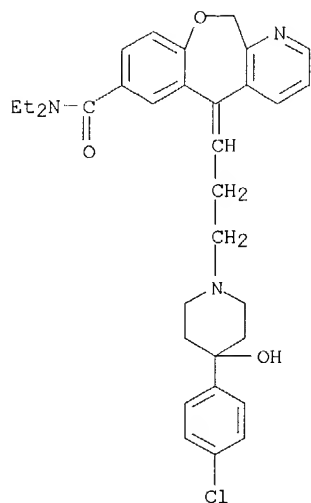


RN 324782-11-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



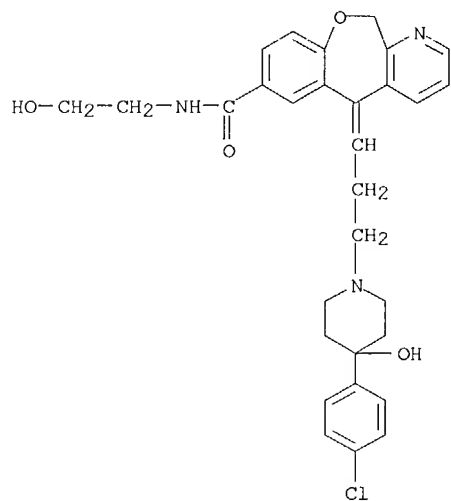
RN	324782-13-0	CAPLUS
CN	[1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- (9CI) (CA INDEX NAME)	

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RN 324782-61-8 CAPLUS

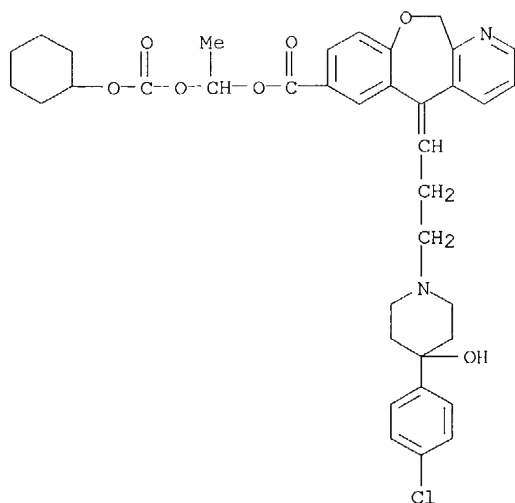
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-{4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl}propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI)
(CA INDEX NAME)



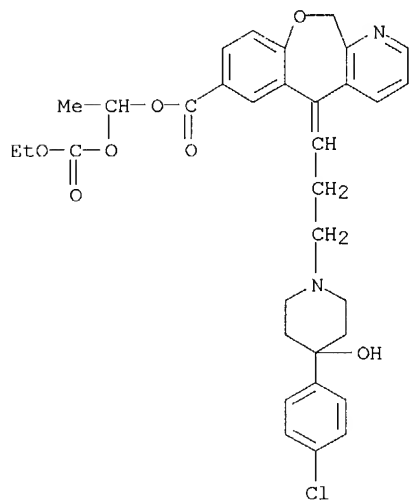
RN 324782-63-0 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-{4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl}propylidene]-5,11-dihydro-, 1-[(cyclohexyloxy)carbonyl]oxyethyl ester (9CI) (CA INDEX NAME)

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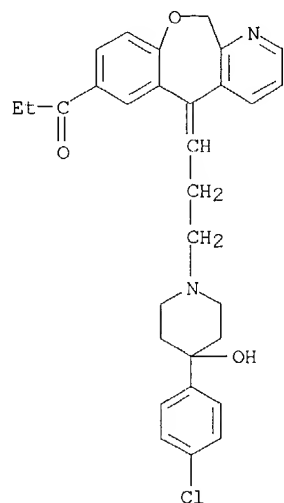


RN 324782-65-2 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



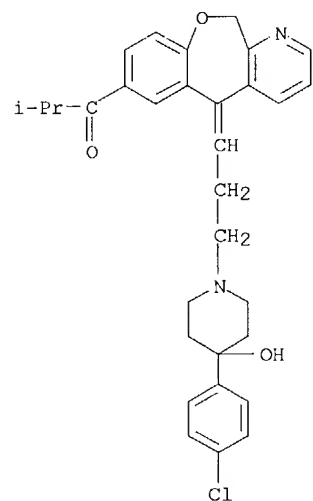
RN 324783-35-9 CAPLUS
 CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-(9CI) (CA INDEX NAME)

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RN 324783-37-1 CAPLUS

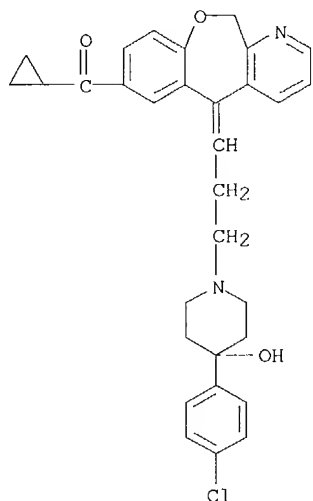
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)



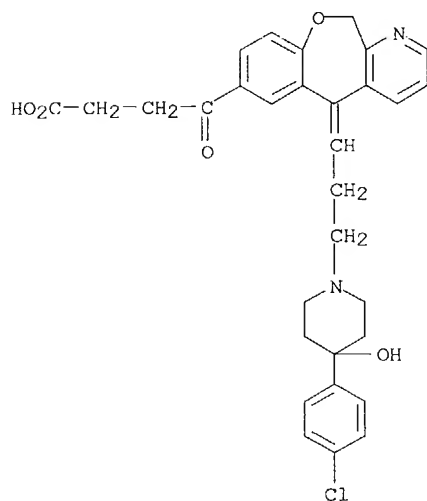
RN 324783-39-3 CAPLUS

CN Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- (9CI) (CA INDEX NAME)

10706835

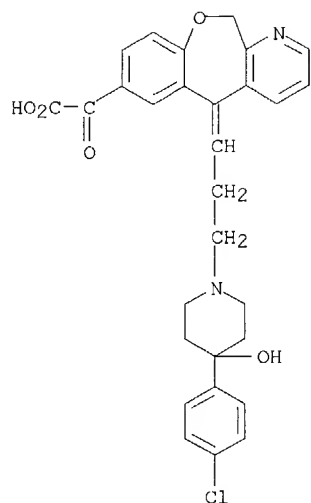


RN 324783-41-7 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo- (9CI) (CA
 INDEX NAME)



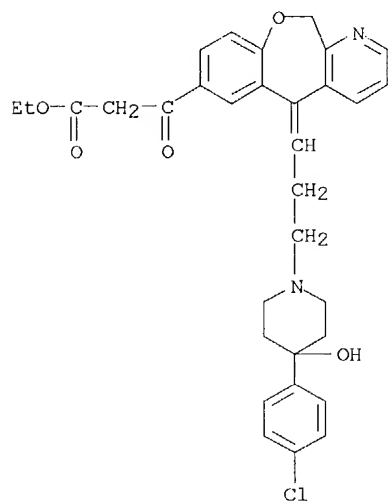
RN 324783-98-4 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- α -oxo- (9CI) (CA
 INDEX NAME)

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RN 324784-40-9 CAPLUS

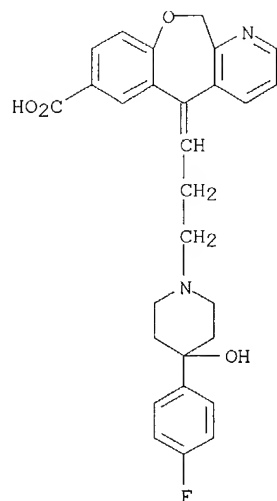
CN [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 324784-42-1 CAPLUS

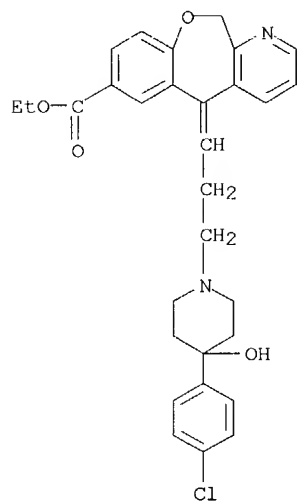
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

10706835



RN 324784-62-5 CAPLUS

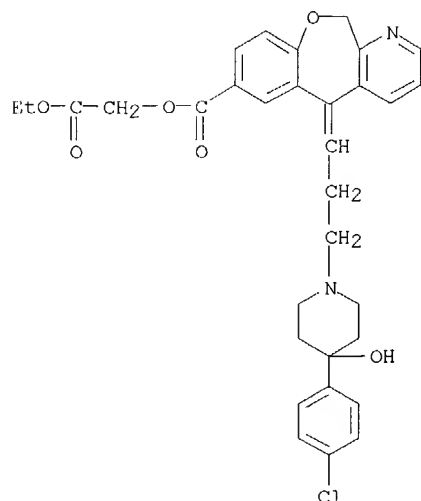
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 324784-64-7 CAPLUS

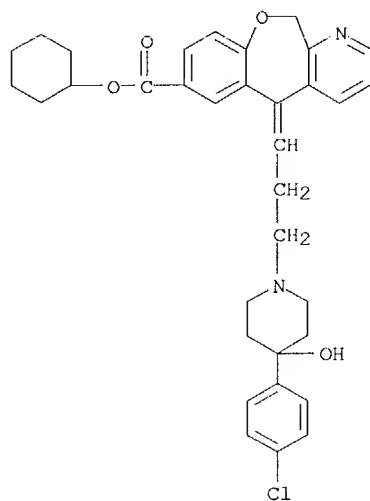
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester (9CI) (CA INDEX NAME)

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RN 324784-66-9 CAPLUS

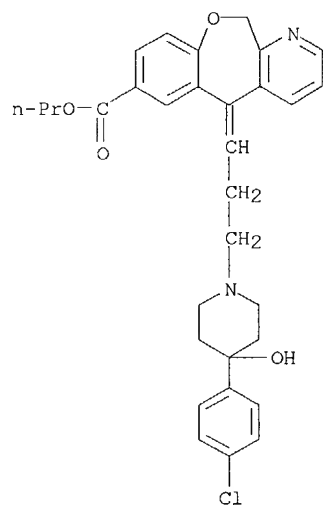
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester (9CI)
(CA INDEX NAME)



RN 324784-68-1 CAPLUS

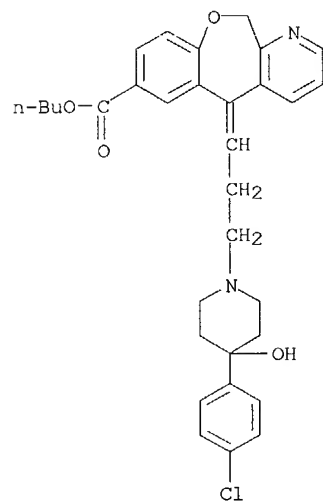
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester (9CI)
(CA INDEX NAME)

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RN 324784-70-5 CAPLUS

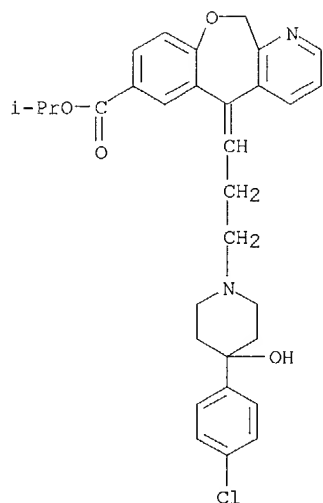
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester (9CI) (CA INDEX NAME)



RN 324784-72-7 CAPLUS

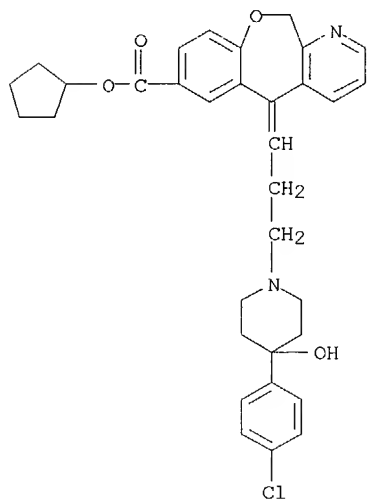
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester (9CI) (CA INDEX NAME)

10706835



RN 324784-74-9 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester (9CI) (CA INDEX NAME)



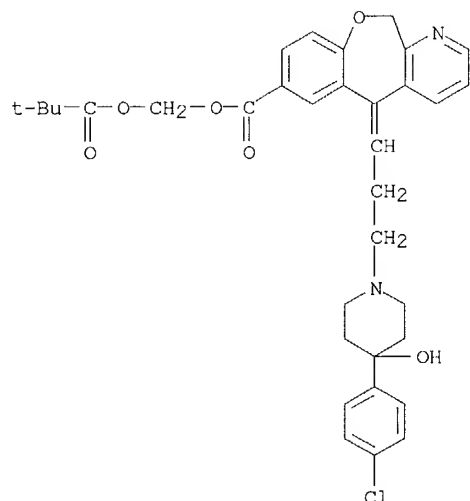
RN 324784-76-1 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

Oc1ccc(cc1)C2CCN(CC2)CC3=CC(=C(C=C3)C(=O)OCCN4CCOCC4)C5=C(C=C6C=CC=NC=C6O5)C=C7C=CC=CC=C7CCN(CC)CCOC(=O)c1ccc2c(c1)OCC3=C(C(=O)N4CCCCC4C5=CC=CC=C5Cl)C6=CC=CC=C6N=C7C=CC=CC=C7N=C8C=CC=CC=C8N=C9C=CC=CC=C9N=C10C=CC=CC=C10N=C11C=CC=CC=C11N=C12C=CC=CC=C12N=C13C=CC=CC=C13N=C14C=CC=CC=C14N=C15C=CC=CC=C15N=C16C=CC=CC=C16N=C17C=CC=CC=C17N=C18C=CC=CC=C18N=C19C=CC=CC=C19N=C20C=CC=CC=C20N=C21C=CC=CC=C21N=C22C=CC=CC=C22N=C23C=CC=CC=C23N=C24C=CC=CC=C24N=C25C=CC=CC=C25N=C26C=CC=CC=C26N=C27C=CC=CC=C27N=C28C=CC=CC=C28N=C29C=CC=CC=C29N=C30C=CC=CC=C30N=C31C=CC=CC=C31N=C32C=CC=CC=C32N=C33C=CC=CC=C33N=C34C=CC=CC=C34N=C35C=CC=CC=C35N=C36C=CC=CC=C36N=C37C=CC=CC=C37N=C38C=CC=CC=C38N=C39C=CC=CC=C39N=C40C=CC=CC=C40N=C41C=CC=CC=C41N=C42C=CC=CC=C42N=C43C=CC=CC=C43N=C44C=CC=CC=C44N=C45C=CC=CC=C45N=C46C=CC=CC=C46N=C47C=CC=CC=C47N=C48C=CC=CC=C48N=C49C=CC=CC=C49N=C50C=CC=CC=C50N=C51C=CC=CC=C51N=C52C=CC=CC=C52N=C53C=CC=CC=C53N=C54C=CC=CC=C54N=C55C=CC=CC=C55N=C56C=CC=CC=C56N=C57C=CC=CC=C57N=C58C=CC=CC=C58N=C59C=CC=CC=C59N=C60C=CC=CC=C60N=C61C=CC=CC=C61N=C62C=CC=CC=C62N=C63C=CC=CC=C63N=C64C=CC=CC=C64N=C65C=CC=CC=C65N=C66C=CC=CC=C66N=C67C=CC=CC=C67N=C68C=CC=CC=C68N=C69C=CC=CC=C69N=C70C=CC=CC=C70N=C71C=CC=CC=C71N=C72C=CC=CC=C72N=C73C=CC=CC=C73N=C74C=CC=CC=C74N=C75C=CC=CC=C75N=C76C=CC=CC=C76N=C77C=CC=CC=C77N=C78C=CC=CC=C78N=C79C=CC=CC=C79N=C80C=CC=CC=C80N=C81C=CC=CC=C81N=C82C=CC=CC=C82N=C83C=CC=CC=C83N=C84C=CC=CC=C84N=C85C=CC=CC=C85N=C86C=CC=CC=C86N=C87C=CC=CC=C87N=C88C=CC=CC=C88N=C89C=CC=CC=C89N=C90C=CC=CC=C90N=C91C=CC=CC=C91N=C92C=CC=CC=C92N=C93C=CC=CC=C93N=C94C=CC=CC=C94N=C95C=CC=CC=C95N=C96C=CC=CC=C96N=C97C=CC=CC=C97N=C98C=CC=CC=C98N=C99C=CC=CC=C99N=C100C=CC=CC=C100N=C101C=CC=CC=C101N=C102C=CC=CC=C102N=C103C=CC=CC=C103N=C104C=CC=CC=C104N=C105C=CC=CC=C105N=C106C=CC=CC=C106N=C107C=CC=CC=C107N=C108C=CC=CC=C108N=C109C=CC=CC=C109N=C110C=CC=CC=C110N=C111C=CC=CC=C111N=C112C=CC=CC=C112N=C113C=CC=CC=C113N=C114C=CC=CC=C114N=C115C=CC=CC=C115N=C116C=CC=CC=C116N=C117C=CC=CC=C117N=C118C=CC=CC=C118N=C119C=CC=CC=C119N=C120C=CC=CC=C120N=C121C=CC=CC=C121N=C122C=CC=CC=C122N=C123C=CC=CC=C123N=C124C=CC=CC=C124N=C125C=CC=CC=C125N=C126C=CC=CC=C126N=C127C=CC=CC=C127N=C128C=CC=CC=C128N=C129C=CC=CC=C129N=C130C=CC=CC=C130N=C131C=CC=CC=C131N=C132C=CC=CC=C132N=C133C=CC=CC=C133N=C134C=CC=CC=C134N=C135C=CC=CC=C135N=C136C=CC=CC=C136N=C137C=CC=CC=C137N=C138C=CC=CC=C138N=C139C=CC=CC=C139N=C140C=CC=CC=C140N=C141C=CC=CC=C141N=C142C=CC=CC=C142N=C143C=CC=CC=C143N=C144C=CC=CC=C144N=C145C=CC=CC=C145N=C146C=CC=CC=C146N=C147C=CC=CC=C147N=C148C=CC=CC=C148N=C149C=CC=CC=C149N=C150C=CC=CC=C150N=C151C=CC=CC=C151N=C152C=CC=CC=C152N=C153C=CC=CC=C153N=C154C=CC=CC=C154N=C155C=CC=CC=C155N=C156C=CC=CC=C156N=C157C=CC=CC=C157N=C158C=CC=CC=C158N=C159C=CC=CC=C159N=C160C=CC=CC=C160N=C161C=CC=CC=C161N=C162C=CC=CC=C162N=C163C=CC=CC=C163N=C164C=CC=CC=C164N=C165C=CC=CC=C165N=C166C=CC=CC=C166N=C167C=CC=CC=C167N=C168C=CC=CC=C168N=C169C=CC=CC=C169N=C170C=CC=CC=C170N=C171C=CC=CC=C171N=C172C=CC=CC=C172N=C173C=CC=CC=C173N=C174C=CC=CC=C174N=C175C=CC=CC=C175N=C176C=CC=CC=C176N=C177C=CC=CC=C177N=C178C=CC=CC=C178N=C179C=CC=CC=C179N=C180C=CC=CC=C180N=C181C=CC=CC=C181N=C182C=CC=CC=C182N=C183C=CC=CC=C183N=C184C=CC=CC=C184N=C185C=CC=CC=C185N=C186C=CC=CC=C186N=C187C=CC=CC=C187N=C188C=CC=CC=C188N=C189C=CC=CC=C189N=C190C=CC=CC=C190N=C191C=CC=CC=C191N=C192C=CC=CC=C192N=C193C=CC=CC=C193N=C194C=CC=CC=C194N=C195C=CC=CC=C195N=C196C=CC=CC=C196N=C197C=CC=CC=C197N=C198C=CC=CC=C198N=C199C=CC=CC=C199N=C200C=CC=CC=C200N=C201C=CC=CC=C201N=C202C=CC=CC=C202N=C203C=CC=CC=C203N=C204C=CC=CC=C204N=C205C=CC=CC=C205N=C206C=CC=CC=C206N=C207C=CC=CC=C207N=C208C=CC=CC=C208N=C209C=CC=CC=C209N=C210C=CC=CC=C210N=C211C=CC=CC=C211N=C212C=CC=CC=C212N=C213C=CC=CC=C213N=C214C=CC=CC=C214N=C215C=CC=CC=C215N=C216C=CC=CC=C216N=C217C=CC=CC=C217N=C218C=CC=CC=C218N=C219C=CC=CC=C219N=C220C=CC=CC=C220N=C221C=CC=CC=C221N=C222C=CC=CC=C222N=C223C=CC=CC=C223N=C224C=CC=CC=C224N=C225C=CC=CC=C225N=C226C=CC=CC=C226N=C227C=CC=CC=C227N=C228C=CC=CC=C228N=C229C=CC=CC=C229N=C230C=CC=CC=C230N=C231C=CC=CC=C231N=C232C=CC=CC=C232N=C233C=CC=CC=C233N=C234C=CC=CC=C234N=C235C=CC=CC=C235N=C236C=CC=CC=C236N=C237C=CC=CC=C237N=C238C=CC=CC=C238N=C239C=CC=CC=C239N=C240C=CC=CC=C240N=C241C=CC=CC=C241N=C242C=CC=CC=C242N=C243C=CC=CC=C243N=C244C=CC=CC=C244N=C245C=CC=CC=C245N=C246C=CC=CC=C246N=C247C=CC=CC=C247N=C248C=CC=CC=C248N=C249C=CC=CC=C249N=C250C=CC=CC=C250N=C251C=CC=CC=C251N=C252C=CC=CC=C252N=C253C=CC=CC=C253N=C254C=CC=CC=C254N=C255C=CC=CC=C255N=C256C=CC=CC=C256N=C257C=CC=CC=C257N=C258C=CC=CC=C258N=C259C=CC=CC=C259N=C260C=CC=CC=C260N=C261C=CC=CC=C261N=C262C=CC=CC=C262N=C263C=CC=CC=C263N=C264C=CC=CC=C264N=C265C=CC=CC=C265N=C266C=CC=CC=C266N=C267C=CC=CC=C267N=C268C=CC=CC=C268N=C269C=CC=CC=C269N=C270C=CC=CC=C270N=C271C=CC=CC=C271N=C272C=CC=CC=C272N=C273C=CC=CC=C273N=C274C=CC=CC=C274N=C275C=CC=CC=C275N=C276C=CC=CC=C276N=C277C=CC=CC=C277N=C278C=CC=CC=C278N=C279C=CC=CC=C279N=C280C=CC=CC=C280N=C281C=CC=CC=C281N=C282C=CC=CC=C282N=C283C=CC=CC=C283N=C284C=CC=CC=C284N=C285C=CC=CC=C285N=C286C=CC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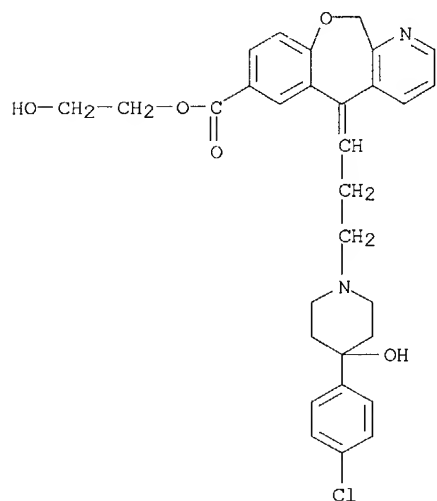
RN 324784-80-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)

10706835



RN 324784-82-9 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)



IT **324785-94-6**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester

RL: RCT (Reactant); RACT (Reactant or reagent)

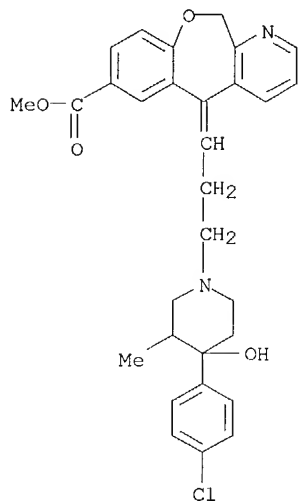
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 324785-94-6 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)

COC(=O)c1ccc2c(c1)Oc3ccc4c2c(c3)nc5ccc(cc45)C(=O)C6CCN(CC6)C7=CC=C(C=C7)F

CMF C30 H31 C1 N2 O4


$$\text{O}=\text{CH}-\text{OH}$$

10706835

IT 475085-30-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of tricyclic-substituted piperidinols and analogs as chemokine
receptor antagonists for treatment of diseases associated with aberrant
leukocyte recruitment and activation)

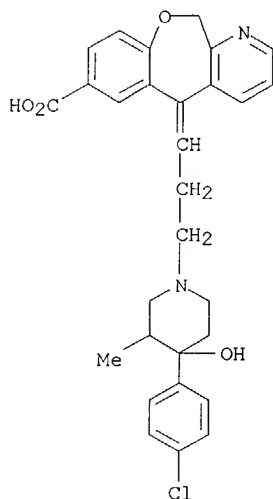
RN 475085-30-4 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-
4-hydroxy-3-methyl-1-piperidinyl]propylidene]-5,11-dihydro-, monoformate
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 475085-29-1

CMF C29 H29 Cl N2 O4



CM 2

CRN 64-18-6

CMF C H2 O2

O=CH-OH

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:658747 CAPLUS

DN 137:185480

TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine
receptor antagonists

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera,
Osamu; Harriman, Geraldine C. B.

PA USA

SO U.S. Pat. Appl. Publ., 102 pp., Cont.-in-part of U.S. Ser. No. 235,102.
CODEN: USXXCO

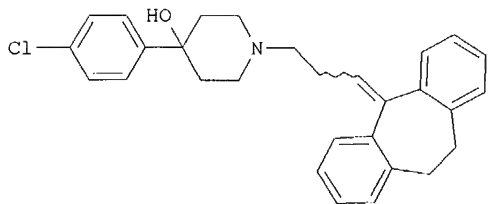
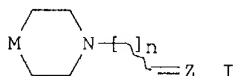
DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	US 6613905	B1	20030902	US 1998-148823	19980904
	US 6329385	B1	20011211	US 1999-235102	19990121
	WO 2001009138	A2	20010208	WO 2000-US20732	20000728

WO 2001009138 A3 20010913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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EP 1204665 A2 20020515 EP 2000-950880 20000728
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BR 2000013065 A 20020730 BR 2000-13065 20000728
JP 2003506377 T2 20030218 JP 2001-514341 20000728
US 2002169155 A1 20021114 US 2001-989086 20011121
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PRAI US 1998-10320 B2 19980121
US 1998-148823 A2 19980904
US 1999-235102 A2 19990121
US 1999-362837 A 19990728
US 2000-627886 A2 20000728
WO 2000-US20732 W 20000728
US 2001-989086 A2 20011121
OS
GI MARPAT 137:185480



II

AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective tricyclic-substituted piperidinols and analogs thereof, represented by structural formula I [M = CR₁R₂ where R₁ = H, OH, alkyl, (un)substituted alkoxy, SR₃; R₃ = H or substituted alkyl, (un)substituted alkylcarboxy, alkoxycarbonyl, CN, COOH, CONR₄R₅; R₂ = OH, (un)substituted acyl, NR₆R₇, (un)substituted alkyl, aryl, etc.; R₄₋₇ = H, (un)substituted acyl, aliphatic aromatic, heterocycle, etc., or, R₁, R₂, R₄ and R₅, or R₆ and R₇ taken together with the atom to which they are bonded form a (un)substituted carbocyclic or heterocyclic ring; Z = (un)substituted

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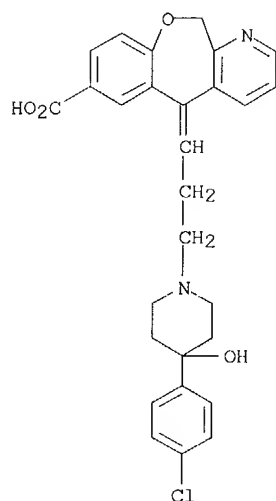
cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4] and their physiol. acceptable salts are prepared Chemokine binding activities of test compds. are reported with IC50 values ranging from <1 to <1000 μ M. Thus, II was prepared via substitution of 5-(3-bromopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 4-(4-chlorophenyl)-4-hydroxypiperidine.

IT 233260-14-5P, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-324782-15-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

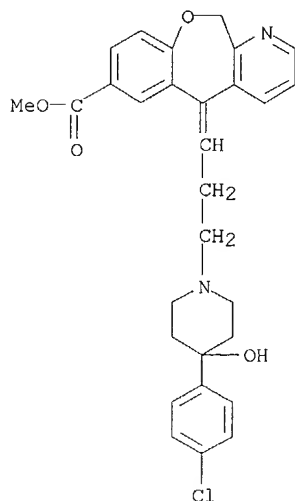
RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



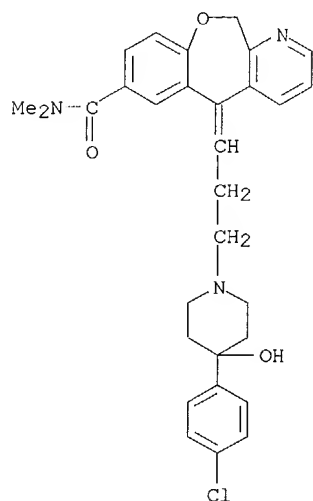
RN 324782-15-2 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)

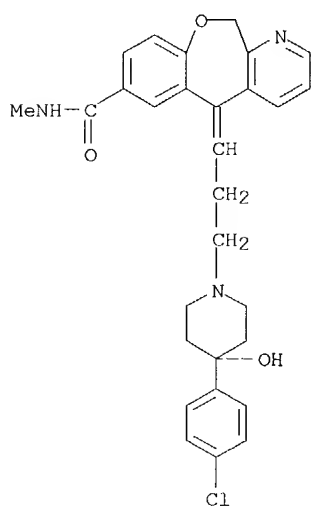


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IT **233261-19-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide,
5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidiny]propylidene]-5,11-dihydro-
N,N-dimethyl- **324782-09-4P** **324782-11-8P**
324782-13-0P **324782-61-8P** **324782-63-0P**
324782-65-2P **324782-79-8P** **324782-81-2P**
452092-87-4P **452092-88-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine
receptor antagonists for treatment of diseases associated with aberrant
leukocyte recruitment and activation)
RN 233261-19-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-
hydroxy-1-piperidiny]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA
INDEX NAME)



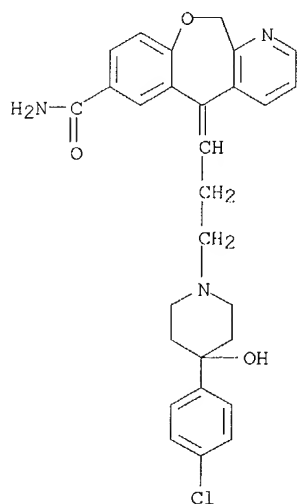
RN 324782-09-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-
hydroxy-1-piperidiny]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX
NAME)



RN 324782-11-8 CAPLUS
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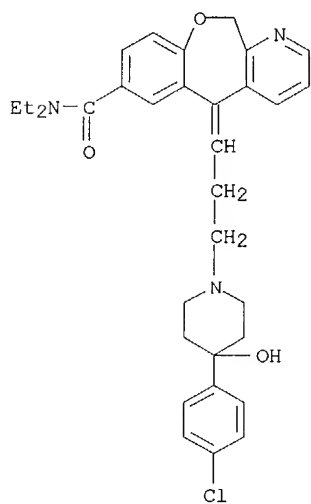
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hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-13-0 CAPLUS

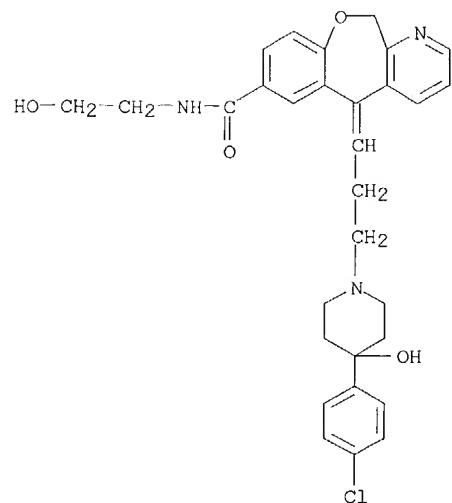
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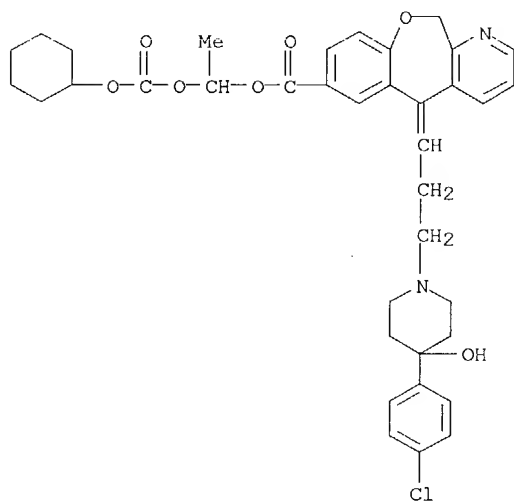
RN 324782-61-8 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

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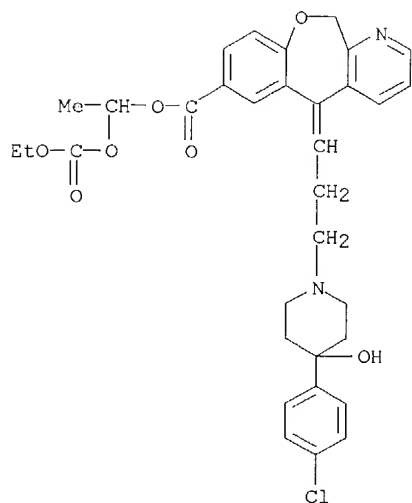


RN 324782-63-0 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[[[(cyclohexyloxy)carbonyl]oxy]ethyl ester (9CI) (CA INDEX NAME)]



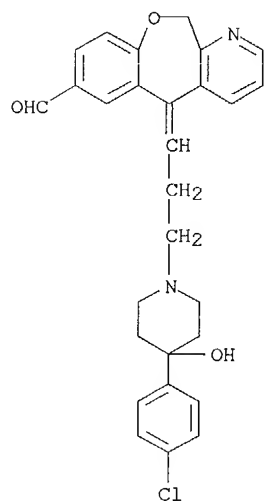
RN 324782-65-2 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)]

10706835



RN 324782-79-8 CAPLUS

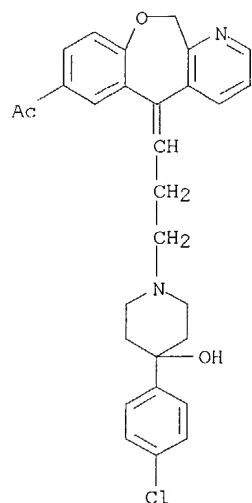
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



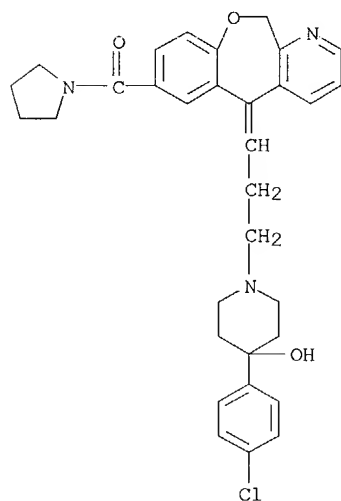
RN 324782-81-2 CAPLUS

CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

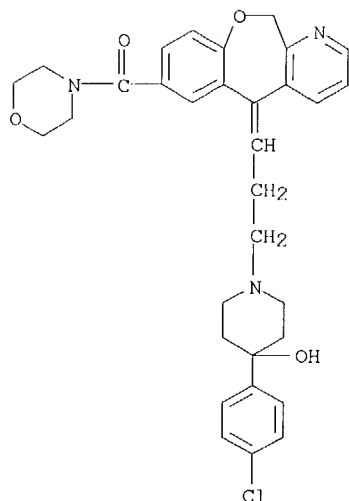
10706835



RN 452092-87-4 CAPLUS
CN Pyrrolidine, 1-[[5-[[3-[[4-(4-chlorophenyl)-4-hydroxy-1-piperidyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

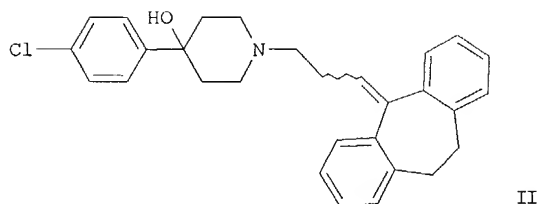
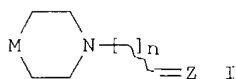


RN 452092-88-5 CAPLUS
CN Morpholine, 4-[[5-[[3-[[4-(4-chlorophenyl)-4-hydroxy-1-piperidyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:896498 CAPLUS
 DN 136:20060
 TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine
 receptor antagonists
 IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo
 PA Millennium Pharmaceuticals, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
 SO U.S., 71 pp., Cont.-in-part of U.S. Ser. No. 148,823.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6329385	B1	20011211	US 1999-235102	19990121
	US 6613905	B1	20030902	US 1998-148823	19980904
	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	US 2002169155	A1	20021114	US 2001-989086	20011121
	US 2003045516	A1	20030306	US 2002-217865	20020813
	WO 2003045942	A2	20030605	WO 2002-US36953	20021113
	WO 2003045942	A3	20030912		
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	MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,				
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	PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,				
	NE, SN, TD, TG				
PRAI	US 1998-10320	B2	19980121		
	US 1998-148823	A2	19980904		
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	US 1999-362837	A2	19990728		
	US 2000-627886	A2	20000728		
	US 2001-989086	A2	20011121		
OS	MARPAT 136:20060				
GI					



AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective tricyclic-substituted piperidinols and analogs thereof, represented by structural formula I (M = CR₁R₂ where R₁ = H, OH, alkyl, (un)substituted alkoxy, SR₃ wherein R₃ = H or substituted alkyl, (un)substituted alkylcarboxy, alkoxycarbonyl, CN, COOH, CONR₄R₅; R₂ = OH, (un)substituted acyl, NR₆R₇, (un)substituted alkyl, aryl, etc., wherein R₄, R₅, R₆ and R₇ are independently H, (un)substituted acyl, aliphatic aromatic, heterocycle, etc. or , R₁ and R₂, R₄ and R₅, or R₆ and R₇ taken together with the atom to which they are bonded form a (un)substituted carbocyclic or heterocyclic ring; Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4), and their physiol. acceptable salts are prepared. Chemokine binding activities of test compds. are reported with IC₅₀ values ranging from <1 to <1000 uM. Thus, II was prepared via substitution of 5-(3-bromopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 4-(4-chlorophenyl)-4-hydroxypiperidine.

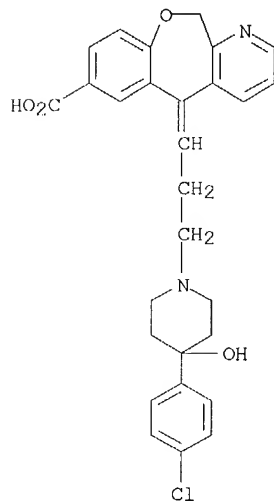
IT **233260-14-5P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



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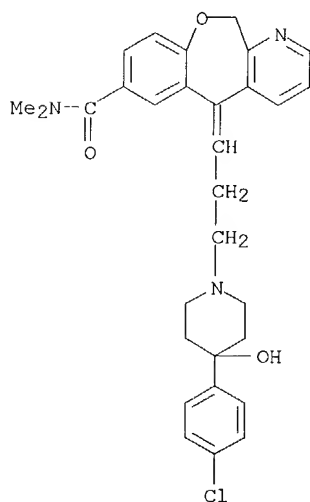
IT 233261-19-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:101145 CAPLUS

DN 134:163016

TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera, Osamu; Harriman, Geraldine C. B.; Carson, Kenneth G.

PA Millennium Pharmaceuticals, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.

SO PCT Int. Appl., 323 pp.

CODEN: PIXXD2

DT Patent

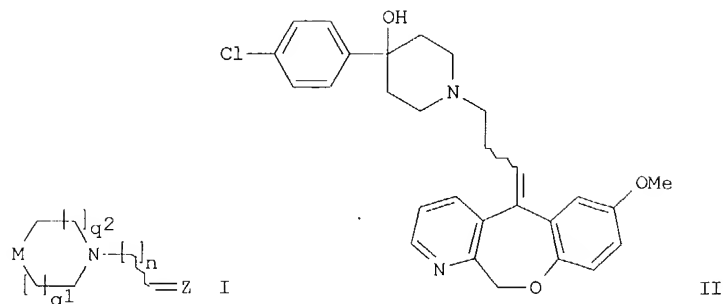
LA English

FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009138	A2	20010208	WO 2000-US20732	20000728
WO 2001009138	A3	20010913		
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US 2002119973	A1	20020829	US 1999-362837	19990728
US 6509346	B2	20030121		
EP 1204665	A2	20020515	EP 2000-950880	20000728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013065	A	20020730	BR 2000-13065	20000728
JP 2003506377	T2	20030218	JP 2001-514341	20000728
PRAI US 1999-362837	A	19990728		

10706835

US 1998-10320 B2 19980121
 US 1998-148823 A2 19980904
 US 1999-235102 A2 19990121
 WO 2000-US20732 W 20000728
 OS MARPAT 134:163016
 GI



AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective compds. represented by structural formula I [Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR₂, CR₁R₂, OCR₁R₂O, CH₂CR₁R₂O; R₁ = H, OH, N₃, etc.; R₂ = H, acyl, aryl, etc.; q₁ = 0-3; q₂ = 0-1; ring containing M is substituted or unsubstituted] and physiol. acceptable salts thereof are prepared. Chemokine binding activities of test compds. are reported with IC₅₀ values ranging from < 1 to < 1000 μ M. Thus, 4-(4-chlorophenyl)-1-[3-(5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-ylidene)propyl]piperidin-4-ol (II) is prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated.

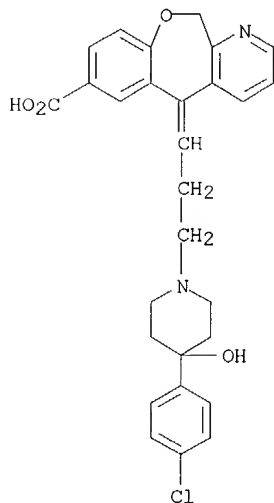
IT **233260-14-5P 324782-15-2P 324782-79-8P**
324782-81-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

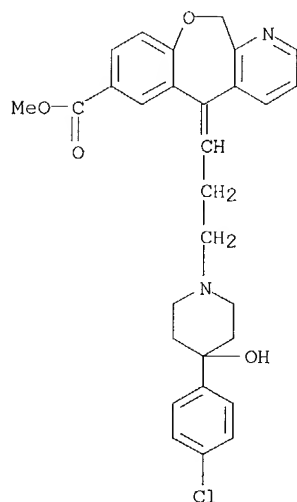
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



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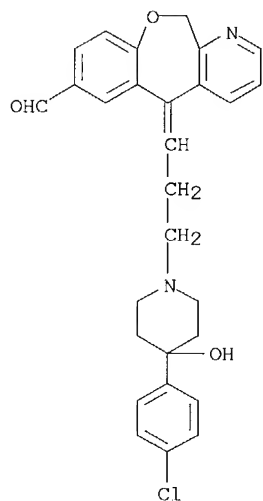
RN 324782-15-2 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI)
(CA INDEX NAME)



RN 324782-79-8 CAPLUS

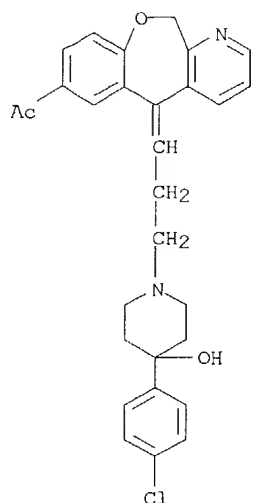
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-81-2 CAPLUS

CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

10706835

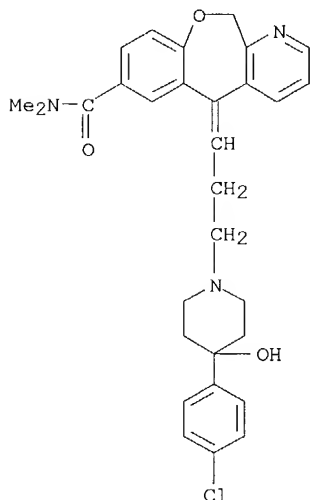


IT 233261-19-3P 324782-09-4P 324782-11-8P
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324784-70-5P 324784-72-7P 324784-74-9P
324784-76-1P 324784-78-3P 324784-80-7P
324784-82-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS

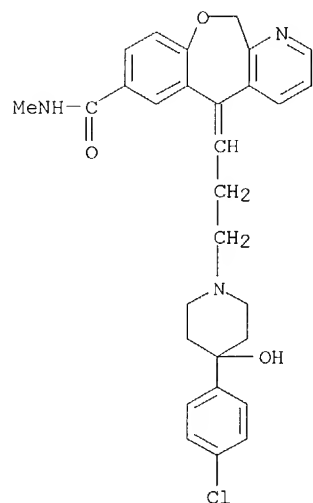
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 324782-09-4 CAPLUS

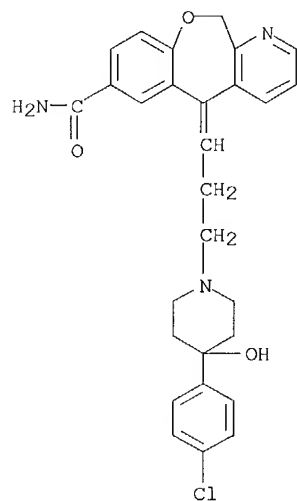
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)

10706835



RN 324782-11-8 CAPLUS

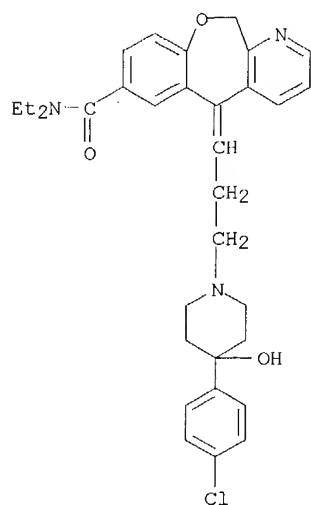
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



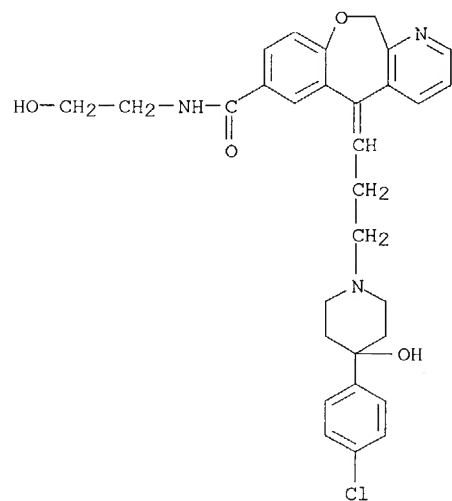
RN 324782-13-0 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- (9CI) (CA INDEX NAME)

10706835

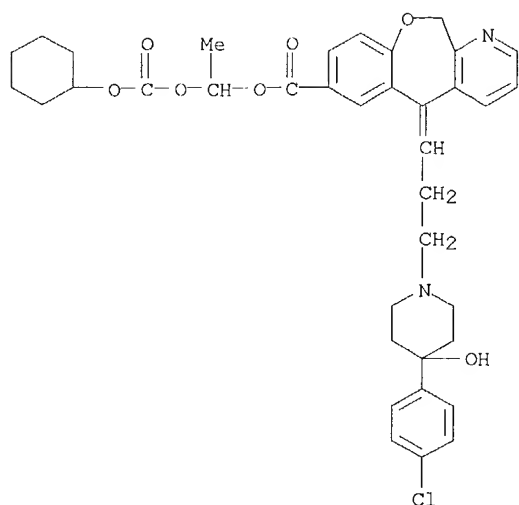


RN 324782-61-8 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI)
 (CA INDEX NAME)

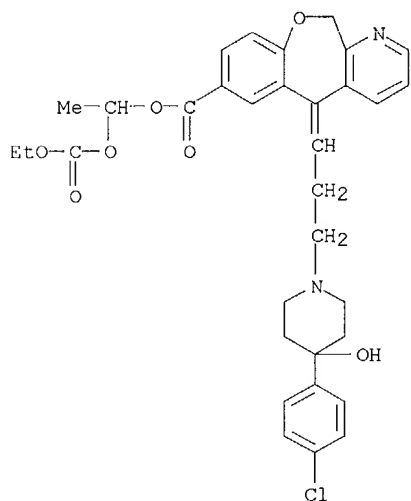


RN 324782-63-0 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[[[(cyclohexyloxy)carbonyl]oxy]ethyl ester (9CI) (CA INDEX NAME)

10706835

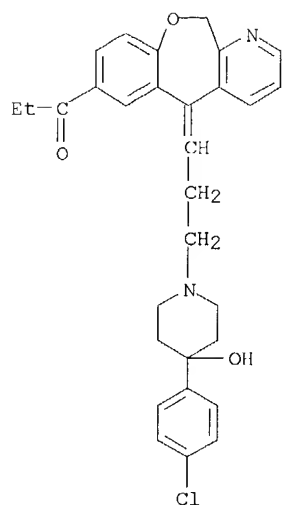


RN 324782-65-2 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)

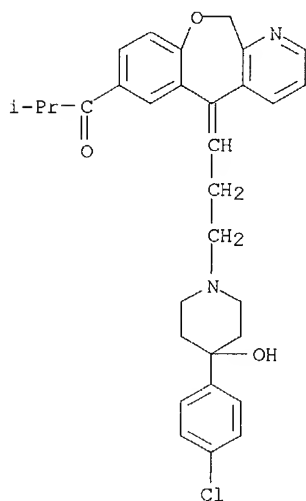


RN 324783-35-9 CAPLUS
 CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-(9CI) (CA INDEX NAME)

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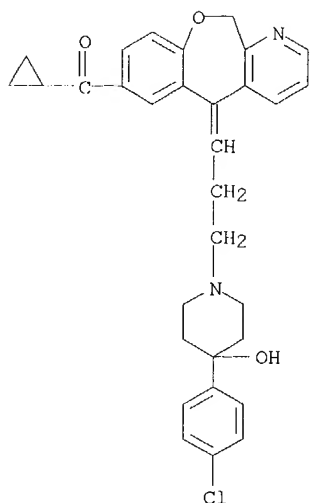


RN 324783-37-1 CAPLUS
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)

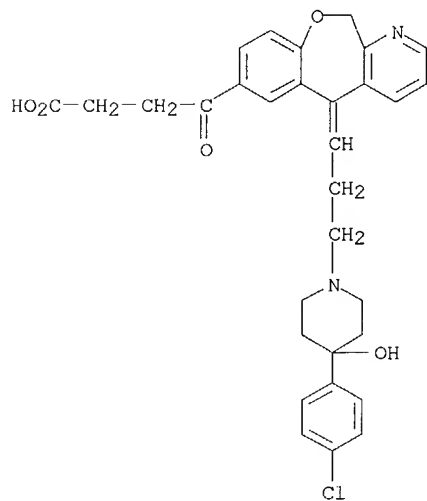


RN 324783-39-3 CAPLUS
CN Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- (9CI) (CA INDEX NAME)

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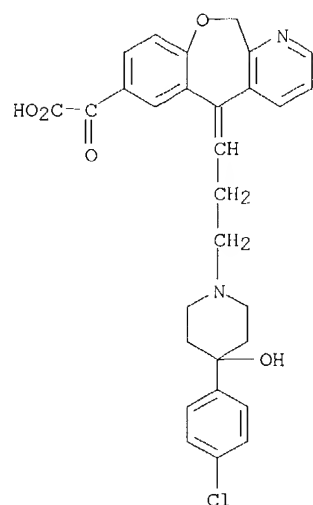


RN 324783-41-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo- (9CI) (CA INDEX NAME)

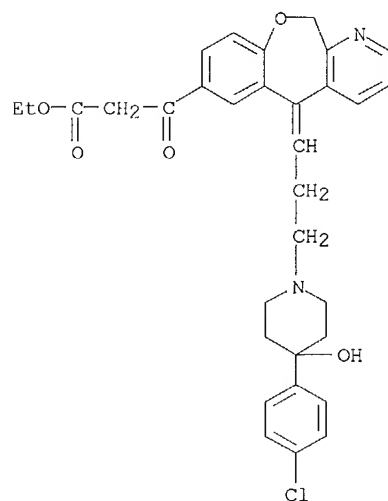


RN 324783-98-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- α -oxo- (9CI) (CA INDEX NAME)

10706835

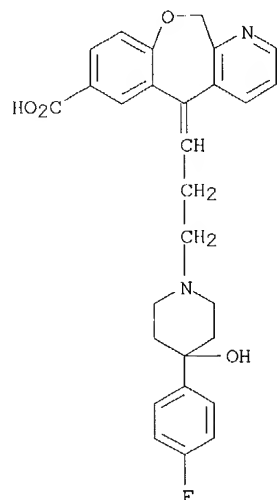


RN 324784-40-9 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-β-oxo-, ethyl ester (9CI) (CA INDEX NAME)

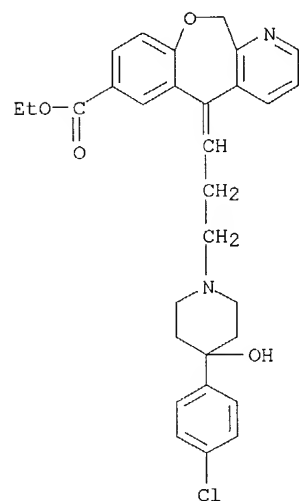


RN 324784-42-1 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-β-oxo-, ethyl ester (9CI) (CA INDEX NAME)

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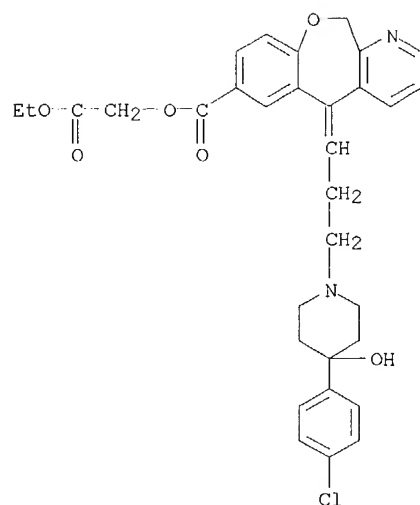


RN 324784-62-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

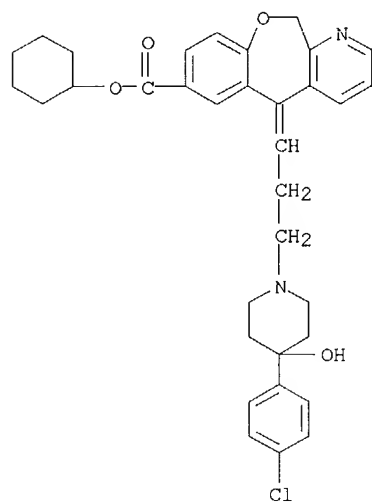


RN 324784-64-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester (9CI) (CA INDEX NAME)

10706835

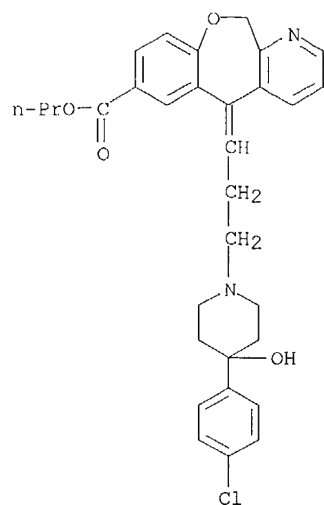


RN 324784-66-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester (9CI)
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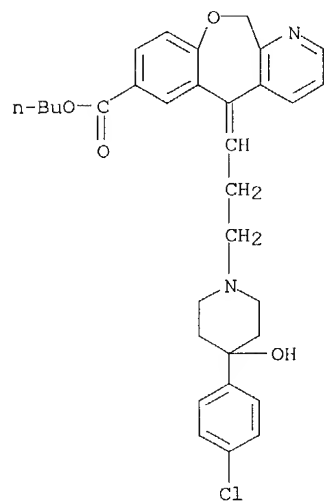


RN 324784-68-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester (9CI)
(CA INDEX NAME)

10706835

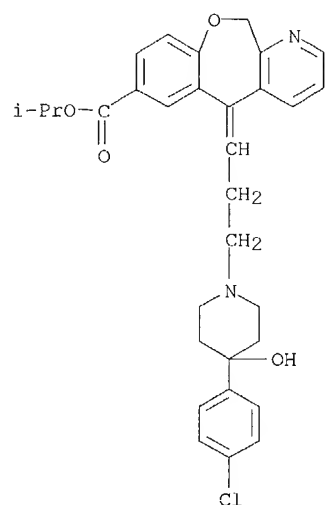


RN 324784-70-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester (9CI) (CA INDEX NAME)

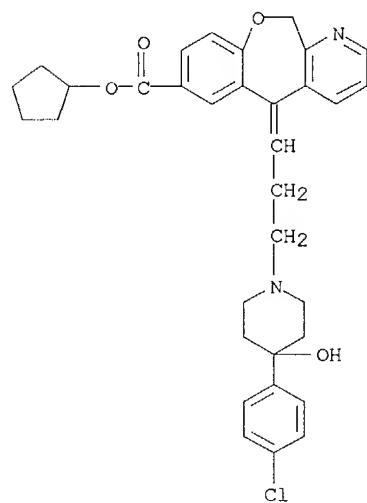


RN 324784-72-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester (9CI) (CA INDEX NAME)

10706835

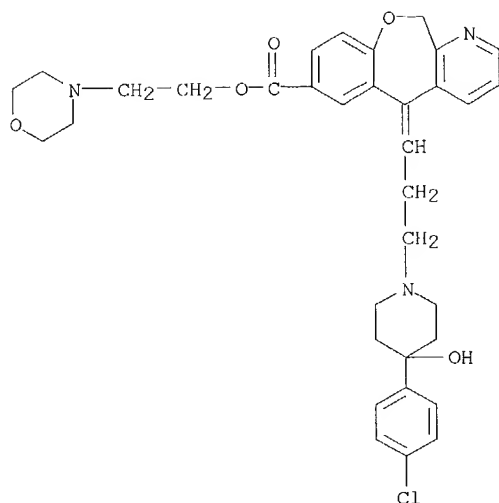


RN 324784-74-9 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester (9CI) (CA INDEX NAME)

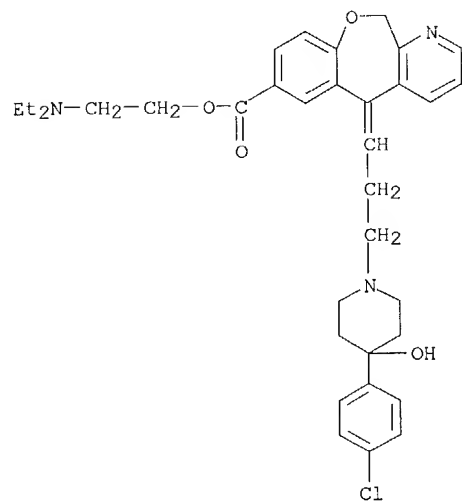


RN 324784-76-1 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

10706835

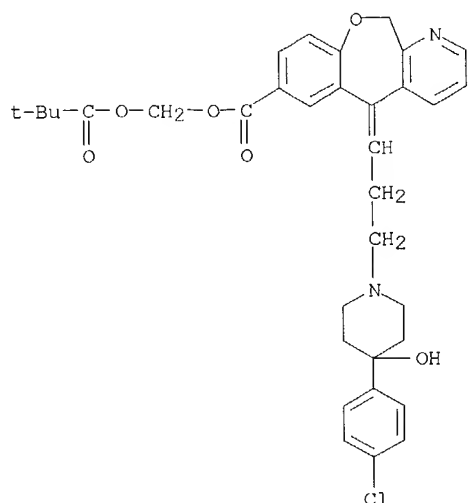


RN 324784-78-3 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)

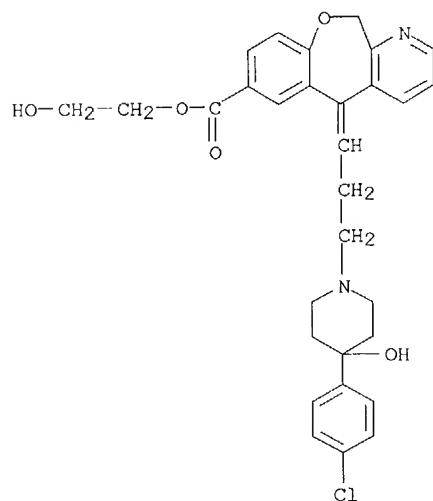


RN 324784-80-7 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)

10706835

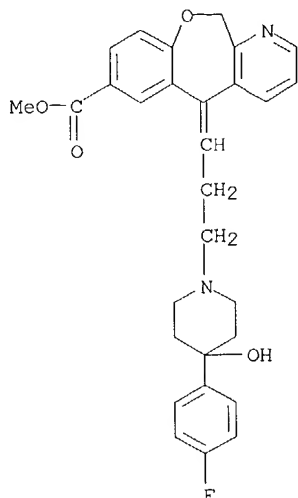


RN 324784-82-9 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)



IT **324785-94-6**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
 RN 324785-94-6 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)

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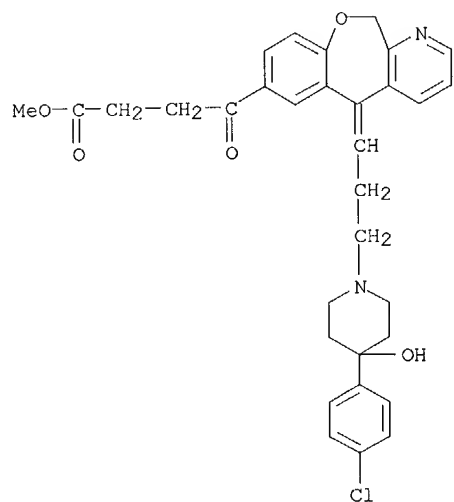
IT 324785-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 324785-37-7 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:487299 CAPLUS

DN 131:116224

TI Tricyclic-substituted piperidinols and analogs useful as chemokine receptor antagonists and methods of use therefor

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo

PA Leukosite, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.

SO PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DT Patent

LA English

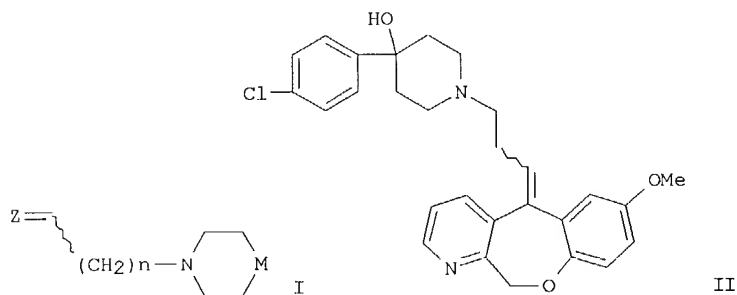
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PATENT NO.

KIND DATE

APPLICATION NO. DATE

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	WO 1999-US1266	W	19990121		
OS	MARPAT 131:116224				
GI					



AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective compds. represented by structural formula I [Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4 or (CH₂)_n may be replaced by an aliphatic or aromatic spacer group; M = NR₂, CR₁R₂; R₁ = H, OH, aliphatic group, CN, (un)substituted OH, SH, CO₂H, carbamoyl, or amino, cyano, etc.; R₂ = H, OH, (un)substituted aliphatic group, aromatic group, benzylic group, or non-aromatic heterocyclic group; R groups may form rings] and physiologically acceptable salts thereof are prepared. Chemokine binding activities of test compds. are reported with IC₅₀ values ranging from < 1 to < 1000 μM. Thus, 4-(4-chlorophenyl)-1-[3-(5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-ylidene)propyl]piperidin-4-ol (II) is prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated.

IT 233260-14-5P

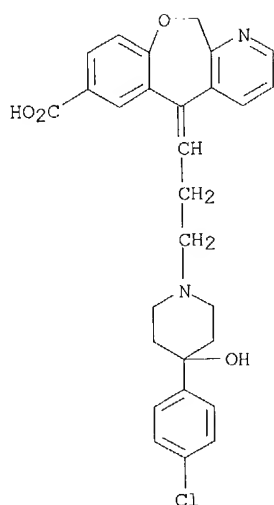
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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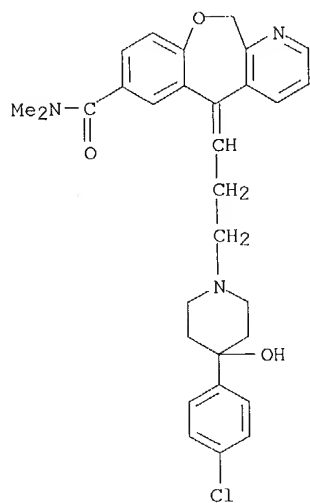


IT 233261-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPIUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

EV